#### MARKED-UP VERSION OF AMENDED SPECIFICATION

**Table III** Identified agonists of hTAS2R10

| Substance                | Structure   | Approx. threshold [mM] | EC <sub>50</sub> [mM] |
|--------------------------|---|------------------------|-----------------------|
| Strychnine *             |   | 0.003                  | 0.04                  |
| Brucine                  | CH <sub>3</sub> O N H H H   | 0.01                   | 0.06                  |
| Denatonium benzo-<br>ate | CH <sub>3</sub> O CH <sub>2</sub> CH <sub>3</sub> O CH <sub>2</sub> CH <sub>3</sub> CH <sub>2</sub> CH <sub>3</sub> CH <sub>2</sub> CH <sub>3</sub> | 0.003                  | 0.07                  |
| Absinthine               | HO, HHOO  | 0.01                   |                       |

Table IV
Identified agonists of hTAS2R14

| Substance  | Structure   | Reacts at |  |
|------------|---|-----------|--|
| L-Tyrosine | HO — H <sub>2</sub> N H O II CH <sub>2</sub> C — C — OH | 1 mM      |  |

**Table V** Identified agonists of hTAS2R16

| Substance                       | Structure                                    | Threshold [mM] | EC <sub>50</sub> [mM] |
|---------------------------------|--|----------------|-----------------------|
| Naphtyl-ß-D-Glucoside           | HOCH <sub>2</sub>                            | 0.4 ± 0.1      | 1.0 ± 0.1             |
| · Phenyl –ß-D-Glucoside         | HOCH <sub>2</sub> HOOH                       | 0.07 ± 0.02    | 1,1 ± 0.1             |
| Salicin                         | HOCH <sub>2</sub> HOCH <sub>2</sub> HOOOH    | 0.07 ± 0.02    | 1.4 ± 0.2             |
| Helicin                         | HO-OH  | 0.3 ± 0.1      | 2.3 ± 0.4             |
| Arbutin                         | но-<br>но-<br>но он                          | 0.5 ± 0.2      | 5.8 ± 0.9             |
| 2-Nitrophenyl-ß-D-Glucoside     | HOCH <sub>2</sub> NO <sub>2</sub> OH O OH    | 0.3 - 1        | Not determined        |
| 4-Nitrophenyl-ß-D-Glucoside     | HOCH2<br>OH OH                               | 1 - 3          | Not determined        |
| Methyl-ß-D-Glucoside *          | HO-<br>HO-<br>HO OH                          | 15±6           | 32 ± 11               |
| Esculin                         | HO OH HO                                     | 4 ± 2          | Not determined        |
| 4-Nitrophenyl-ß-D-Thioglucoside | HQCH <sub>2</sub> OH S NO <sub>2</sub> HO OH | 1 - 5          | Not determined        |
| 4-Nitrophenyl-ß-D-Mannoside     | HOCH <sub>2</sub> OH OH OH OH                | 1 - 3          | Not determined        |
| Amygdalin                       | HOCH <sub>2</sub> HO OH HO OH                | 2.3 ± 0.9      | 20 ± 3.4              |

**Table VI** Identified agonists of hTAS2R38

| Substance                                      | Structure  | Approx. Threshold<br>[μΜ] | ΕC <sub>50</sub><br>[μΜ] |
|--|--|---------------------------|--------------------------|
| Acetylthiourea                                 | $\begin{array}{ccc} & & & & \\ & & & & \\ \text{CH}_3-\text{C}-\text{NH}-\text{C}-\text{NH}_2 \end{array}$ | 2                         | 15                       |
| N,N-Dimethyl-<br>thioformamide                 | S CH <sub>3</sub> H-C-N CH <sub>3</sub>  | 10                        | 55                       |
| N,N'-Diphenylthiourea                          | S  | 0.3                       | 2.3                      |
| N-Ethylthiourea                                | S<br>II<br>CH <sub>3</sub> CH <sub>2</sub> NH-C-NH <sub>2</sub>  | 30                        | 260                      |
| 2-Imidazolidinethione (=N,N'-Ethylenethiourea) | NH<br>S  | 10                        | not determined           |
| 4(6)-Methyl-2-thiouracil                       | N H S  | 20                        | 180                      |
| N-Methylthiourea                               | S<br>II<br>CH <sub>3</sub> NH-C-NH <sub>2</sub>  | 100                       | estimated<br>600-800     |
| Phenylthiocarbamid (PTC)                       | S<br>II<br>NH - C - NH <sub>2</sub>  | 0.3                       | 2                        |
| 6-Phenyl-2-thiouracil                          |  | 0.15                      | 0.5                      |
| 6-Propyl-2-thiouracil (PROP)                   | H S  | 0.3                       | 2                        |
| Tetramethylthiourea                            | CH <sub>3</sub> S CH <sub>3</sub> N - C - N CH <sub>3</sub>  | 10-30                     | 100                      |
| Thioacetamide                                  | S<br>II<br>CH <sub>3</sub> -C-NH <sub>2</sub>  | 100                       | not determined           |
| Thioacetanilide                                | S<br>II<br>CH <sub>3</sub> - C - NH -  | 3                         | 18                       |
| 2-Thiobarbituric acid                          | HO NH S  | reacts at                 | 10 mM                    |

### Table VI (continued)

#### 2-Thiouracil

## **Table VII** Identified agonists of hTAS2R43

| Substance    | Structure | Approx. Thresh-old [mM] | EC <sub>50</sub> [mM] |
|--------------|-----------|-------------------------|-----------------------|
| Saccharin    | O No.     | 0.2                     | 1.1                   |
| Acesulfame K | N H       | No response up          | to 10 mM              |

**Table VIII**Identified agonists of hTAS2R44

| Substance    | Structure | Approx. Threshold [mM] | EC <sub>50</sub> [mM] |
|--------------|-----------|------------------------|-----------------------|
| Saccharin    | S-Na·     | 0.2                    | estimated 2-5         |
| Acesulfame K |           | 0.5                    | 3                     |

# **Table IX** Identified agonists of hTAS2R45

**Table X** Identified agonists of hTAS2R46

Substance
Structure
Approx. Threshold [mM]
Absinthine
OH
OOH
O.001
Not determined

**Table XI** Identified agonists of hTAS2R48

| Substance  | Structure  | Approx. Thresh-<br>old [mM] | EC <sub>50</sub> [mM] |
|------------|------------|-----------------------------|-----------------------|
| Absinthine | HO, HIM HO | 0.03                        | Not determined        |